

10588702

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|      |    |        |   |
|------|----|--------|---|
| NEWS | 1  |        | Web Page for STN Seminar Schedule - N. America  |
| NEWS | 2  | AUG 10 | Time limit for inactive STN sessions doubles to 40 minutes  |
| NEWS | 3  | AUG 18 | COMPENDEX indexing changed for the Corporate Source (CS) field  |
| NEWS | 4  | AUG 24 | ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced  |
| NEWS | 5  | AUG 24 | CA/CAPLUS enhanced with legal status information for U.S. patents   |
| NEWS | 6  | SEP 09 | 50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY   |
| NEWS | 7  | SEP 11 | WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus   |
| NEWS | 8  | OCT 21 | Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded                                 |
| NEWS | 9  | OCT 21 | Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models |
| NEWS | 10 | NOV 23 | Addition of SCAN format to selected STN databases   |
| NEWS | 11 | NOV 23 | Annual Reload of IFI Databases  |
| NEWS | 12 | DEC 01 | FRFULL Content and Search Enhancements  |
| NEWS | 13 | DEC 01 | DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets                         |
| NEWS | 14 | DEC 02 | Derwent World Patent Index: Japanese FI-TERM thesaurus added  |
| NEWS | 15 | DEC 02 | PCTGEN enhanced with patent family and legal status display data from INPADOCDB                               |
| NEWS | 16 | DEC 02 | USGENE: Enhanced coverage of bibliographic and sequence information   |
| NEWS | 17 | DEC 21 | New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/CAPLUS   |
| NEWS | 18 | JAN 12 | Match STN Content and Features to Your Information Needs, Quickly and Conveniently                            |
| NEWS | 19 | JAN 25 | Annual Reload of MEDLINE database   |
| NEWS | 20 | FEB 16 | STN Express Maintenance Release, Version 8.4.2, Is Now Available for Download                                 |
| NEWS | 21 | FEB 16 | Derwent World Patents Index (DWPI) Revises Indexing of Author Abstracts                                       |
| NEWS | 22 | FEB 16 | New FASTA Display Formats Added to USGENE and PCTGEN  |
| NEWS | 23 | FEB 16 | INPADOCDB and INPAFAMDB Enriched with New Content and Features  |

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NEWS 24 FEB 16 INSPEC Adding Its Own IPC codes and Author's E-mail  
Addresses

NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,  
AND CURRENT DISCOVER FILE IS DATED 15 JANUARY 2010.

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FILE 'HOME' ENTERED AT 10:42:36 ON 22 FEB 2010

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index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of  
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=> FILE REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE<br>ENTRY | TOTAL<br>SESSION |
|----------------------|---------------------|------------------|
| FULL ESTIMATED COST  | 0.22                | 0.22             |

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STRUCTURE FILE UPDATES: 21 FEB 2010 HIGHEST RN 1206966-88-2  
DICTIONARY FILE UPDATES: 21 FEB 2010 HIGHEST RN 1206966-88-2

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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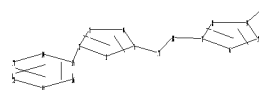
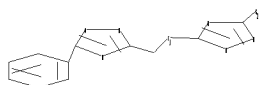
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10588702.str



chain nodes :  
17 18 21  
ring nodes :  
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16  
chain bonds :  
2-15 5-17 7-18 9-21 17-18  
ring bonds :  
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14  
14-15 15-16  
exact/norm bonds :  
1-2 1-5 4-5 6-7 6-10 7-8 7-18 8-9 9-10 9-21 17-18  
exact bonds :  
2-3 2-15 3-4 5-17  
normalized bonds :  
11-12 11-16 12-13 13-14 14-15 15-16  
isolated ring systems :  
containing 1 : 6 : 11 :

10588702

G1:O,N,CH2

G2:Hy,Ph

Match level :

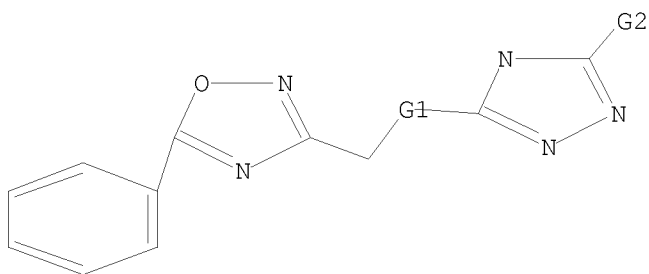
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,N,CH2

G2 Hy,Ph

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:43:16 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 257 TO 903

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 10:43:22 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 553 TO ITERATE

100.0% PROCESSED 553 ITERATIONS

38 ANSWERS

SEARCH TIME: 00.00.01

L3 38 SEA SSS FUL L1

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=> FIL HCAPLUS  
COST IN U.S. DOLLARS

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| 191.54     | 191.76  |

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 10:43:28 ON 22 FEB 2010  
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FILE COVERS 1907 - 22 Feb 2010 VOL 152 ISS 9  
FILE LAST UPDATED: 21 Feb 2010 (20100221/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 6 L3

=> s l4 and py<=2004

25157360 PY<=2004

L5 1 L4 AND PY<=2004

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:524220 HCAPLUS

DOCUMENT NUMBER: 150:494877

TITLE: Preparation of amino 1,2,4-triazole derivatives as modulators of mGluR5

INVENTOR(S): Isaac, Methvin; Waallberg, Andreas

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 71pp.

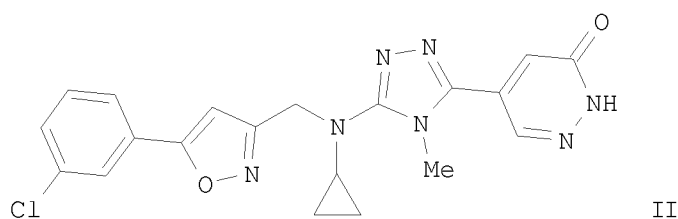
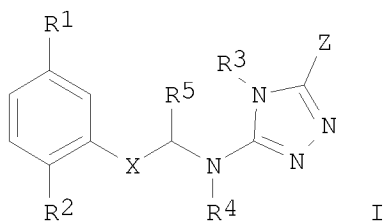
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

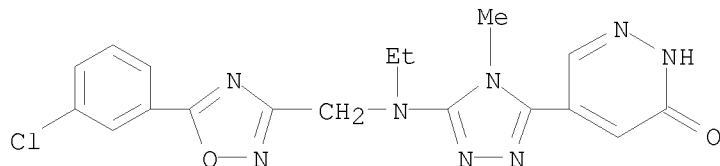
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2009054794   | A1   | 20090430 | WO 2008-SE51197 | 20081023   |
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| US 20090111821  | A1   | 20090430 | US 2008-258114  | 20081024   |
| PRIORITY APPLN. INFO.:  |      |          | US 2007-982956P | P 20071026 |
| ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT   |      |          |                 |            |
| OTHER SOURCE(S): MARPAT 150:494877  |      |          |                 |            |
| GI  |      |          |                 |            |



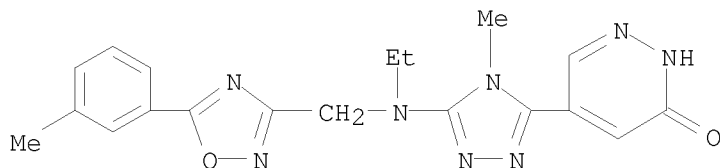
AB The title compds. I [R1 = Me, halo, CN; R2 = H or F; R3, R4 = alkyl, cyclopropyl; R5 = H, alkyl, cyclopropyl; X = isoxazole, triazole, tetrazole, etc.; Z = (un)substituted pyrimidinyl, pyrazinyl, pyridazinyl, etc.], useful as modulators of mGluR5, were prepared E.g., a multi-step synthesis of II, starting from [5-(3-chlorophenyl)isoxazol-3-yl]methyl methanesulfonate with cyclopropylamine, was given. II showed IC<sub>50</sub> of 41 nM against human mGluR5d in FLIPR assay. Pharmaceutical compns. comprising compound I, alone or in combination with other therapeutic agent, are disclosed.

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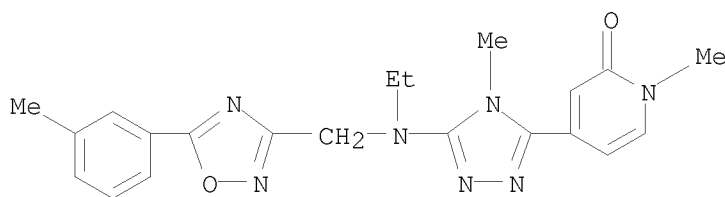
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| IT | 1147756-42-0P  | 1147756-45-3P | 1147756-48-6P |
|    | RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU<br>(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES<br>(Uses)<br>(preparation of substituted 1,2,4-triazolamines as modulators of mGluR5) |               |               |
| RN | 1147756-42-0   | HCAPLUS       |               |
| CN | 3(2H)-Pyridazinone, 5-[5-[[[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]methyl]ethylamino]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)  |               |               |



|    |  |         |
|----|--|---------|
| RN | 1147756-45-3   | HCAPLUS |
| CN | 3(2H)-Pyridazinone, 5-[5-[ethyl[5-(3-methylphenyl)-1,2,4-oxadiazol-3-yl]methyl]amino]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME) |         |



RN 1147756-48-6 HCAPLUS  
CN 2(1H)-Pyridinone, 4-[5-[ethyl[[5-(3-methylphenyl)-1,2,4-oxadiazol-3-yl]methyl]amino]-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl- (CA INDEX NAME)

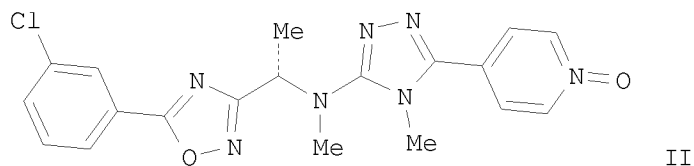
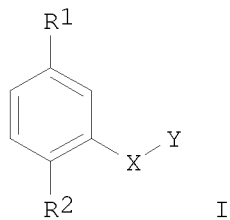


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2009:524183 HCAPLUS  
DOCUMENT NUMBER: 150:472725  
TITLE: Preparation of 1,2,4-triazole aryl N-oxides  
derivatives as modulators of mGluR5  
INVENTOR(S): Granberg, Kenneth; Waallberg, Andreas  
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.  
SOURCE: PCT Int. Appl., 51pp.

CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2009054786   | A1   | 20090430 | WO 2008-SE51189 | 20081023   |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW<br>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |            |
| US 20090111854  | A1   | 20090430 | US 2008-258151  | 20081024   |
| PRIORITY APPLN. INFO.:  |      |          | US 2007-982939P | P 20071026 |
| ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT   |      |          |                 |            |
| OTHER SOURCE(S): CASREACT 150:472725; MARPAT 150:472725   |      |          |                 |            |
| GI  |      |          |                 |            |



AB The title compds. I [R1 = Me, halo, CN; R2 = H or F; X = isoxazole, triazole, tetrazole, etc.; Y = triazolylpiperidinyl, triazolylpyrrolidinyl, triazolylaminoalkyl, etc.], useful as modulators of mGluR5, were prepared Thus, treating N-{(1S)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethyl}-N,4-dimethyl-5-(pyridin-4-yl)-4H-1,2,4-triazol-3-amine with hydrogen peroxide afforded 58% (1S)-II which showed IC50 of 81 nM against human mGluR5d in FLIPR assay. Pharmaceutical compns. comprising compound I, alone or in

combination with other therapeutic agent, are disclosed.

IT 1147105-70-1P

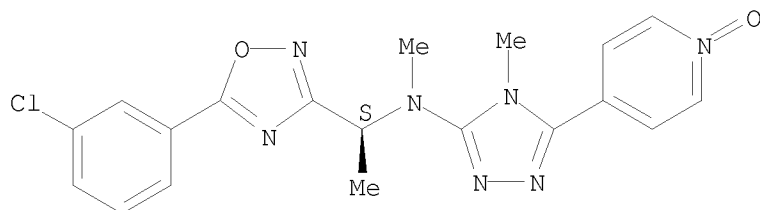
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,2,4-triazole aryl N-oxides derivs. as modulators of mGluR5)

RN 1147105-70-1 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, $\alpha$ -dimethyl-N-[4-methyl-5-(1-oxido-4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 870974-34-8

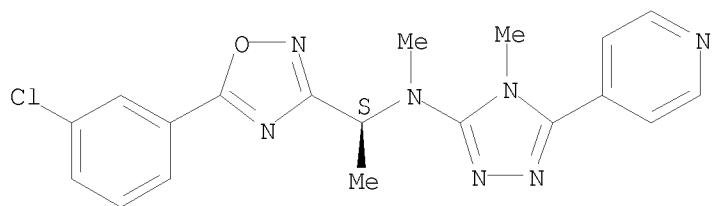
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 1,2,4-triazole aryl N-oxides derivs. as modulators of mGluR5)

RN 870974-34-8 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, $\alpha$ -dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:445018 HCAPLUS

DOCUMENT NUMBER: 148:449638

TITLE: Preparation of substituted phenylheteroarylalkoxytriazoles for use as mGluR5 modulators

INVENTOR(S): Isaac, Methvin; Slassi, Abdelmalik; Edwards, Louise; Dove, Peter; Xin, Tao; Stefanac, Tomislav

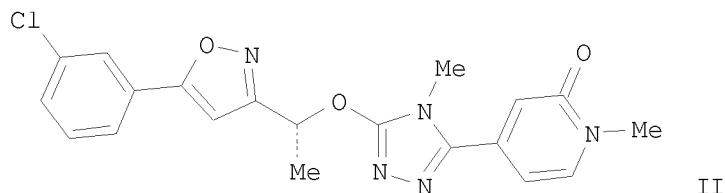
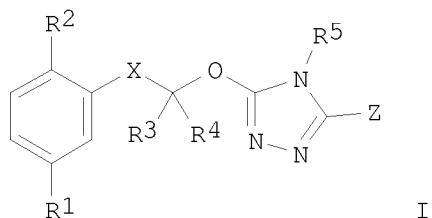
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 93 pp.

10588702

CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE       |
|---|------|----------|------------------|------------|
| WO 2008041075   | A1   | 20080410 | WO 2007-IB2784   | 20070925   |
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| AU 2007303889   | A1   | 20080410 | AU 2007-303889   | 20070925   |
| CA 2665083  | A1   | 20080410 | CA 2007-2665083  | 20070925   |
| US 20080125436  | A1   | 20080529 | US 2007-902784   | 20070925   |
| EP 2079730  | A1   | 20090722 | EP 2007-804973   | 20070925   |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS   |      |          |                  |            |
| IN 2009DN01752  | A    | 20090515 | IN 2009-DN1752   | 20090317   |
| MX 2009003227   | A    | 20090406 | MX 2009-3227     | 20090325   |
| KR 2009060328   | A    | 20090611 | KR 2009-706875   | 20090403   |
| NO 2009001626   | A    | 20090504 | NO 2009-1626     | 20090423   |
| CN 101547916  | A    | 20090930 | CN 2007-80045151 | 20090605   |
| PRIORITY APPLN. INFO.:  |      |          | US 2006-828325P  | P 20061005 |
|   |      |          | WO 2007-IB2784   | W 20070925 |
| ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT   |      |          |                  |            |
| OTHER SOURCE(S): MARPAT 148:449638  |      |          |                  |            |
| GI  |      |          |                  |            |



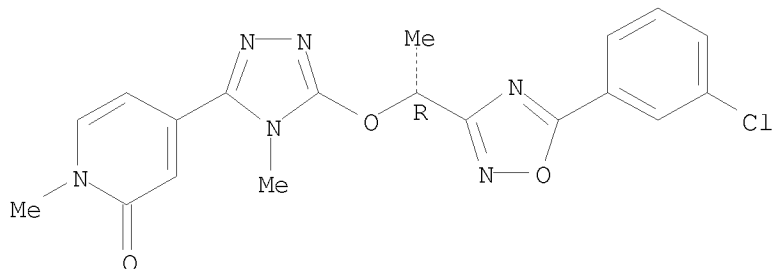
AB Title compds. I [R1 = Me, halo, or CN; R2 = H or F; R3 and R4 independently = H or alkyl; R5 = alkyl or cyclopropyl; X = oxazolyl, oxadiazolyl, or tetrazolyl; Z = (un)substituted heteroaryl], and their pharmaceutically acceptable salts, are prepared and disclosed as mGluR5 modulators. Thus, e.g., II was prepared by coupling of (1R)-1-[5-(3-chlorophenyl)isoxazol-3-yl]ethanol (preparation given) and 4-(5-methanesulfonyl-4-methyl-4H-[1,2,4]triazol-3-yl)-1-methyl-1H-pyridin-2-one (preparation given). Select I were evaluated in FLIPR mGluR5 assays, e.g., II demonstrated an IC50 value of 19 nM.

IT 1018680-65-3P 1018680-71-1P 1018680-74-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted phenylheteroarylalkoxytriazoles for use as mGluR5 modulators)

RN 1018680-65-3 HCAPLUS

CN 2(1H)-Pyridinone, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.

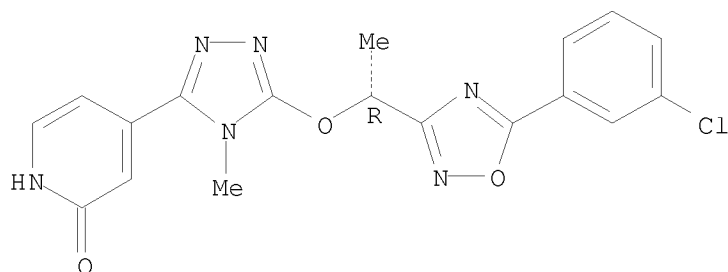


RN 1018680-71-1 HCAPLUS

CN 2(1H)-Pyridinone, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl- (CA INDEX NAME)

10588702

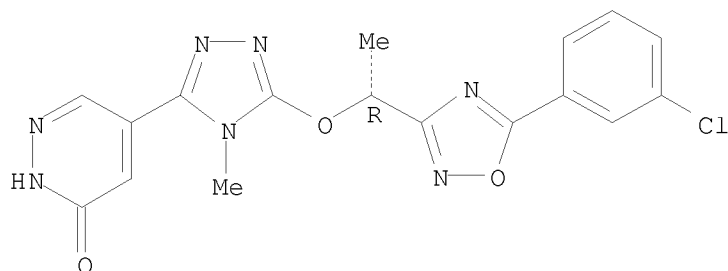
Absolute stereochemistry.



RN 1018680-74-4 HCAPLUS

CN 3(2H)-Pyridazinone, 5-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



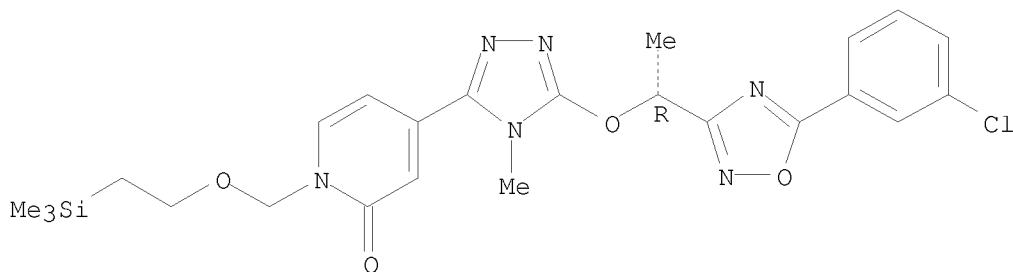
IT 1018681-07-6P 1018681-09-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of substituted phenylheteroarylalkoxytriazoles for use as mGluR5 modulators)

RN 1018681-07-6 HCAPLUS

CN 2(1H)-Pyridinone, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]-1-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)

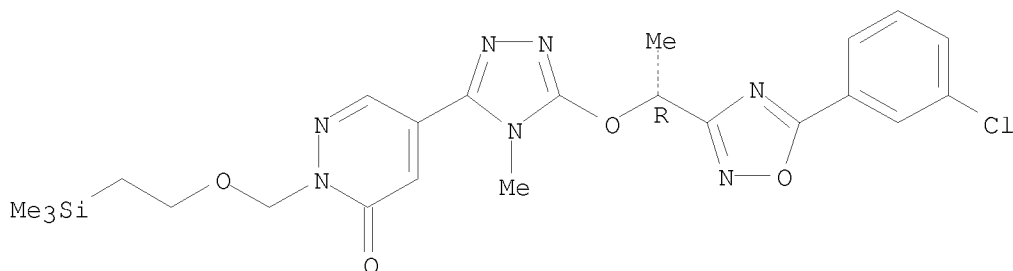
Absolute stereochemistry.



10588702

RN 1018681-09-8 HCAPLUS  
CN 3(2H)-Pyridazinone, 5-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]-2-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists

INVENTOR(S): Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.     | KIND | DATE     | APPLICATION NO. | DATE     |
|----------------|------|----------|-----------------|----------|
| US 20050272779 | A1   | 20051208 | US 2005-53752   | 20050209 |
| US 7585881     | B2   | 20090908 |                 |          |
| AU 2005270208  | A1   | 20060209 | AU 2005-270208  | 20050215 |
| CA 2555566     | A1   | 20060209 | CA 2005-2555566 | 20050215 |
| WO 2006014185  | A1   | 20060209 | WO 2005-US4774  | 20050215 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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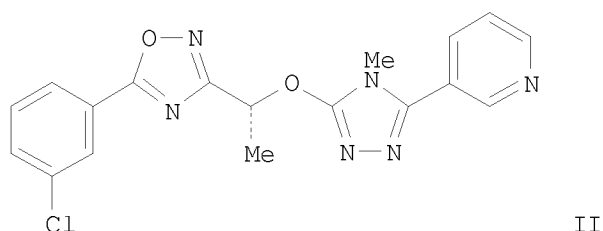
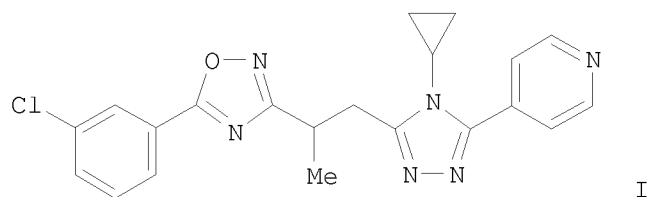
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EP 1723144 A1 20061122 EP 2005-802855 20050215  
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CN 1984907 A 20070620 CN 2005-80004306 20050215  
 BR 2005007497 A 20070710 BR 2005-7497 20050215  
 JP 2007523168 T 20070816 JP 2006-554165 20050215  
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 SG 146657 A1 20081030 SG 2008-6914 20050215  
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PRIORITY APPLN. INFO.:  
 US 2004-608960P P 20040218  
 US 2005-53752 A3 20050209  
 CN 2005-80004306 A3 20050215  
 WO 2005-US4774 W 20050215

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353  
 GI



AB The present invention presents the syntheses of heteropolycyclic compds.,  
 e.g. I and II, for use as metabotropic glutamate receptor antagonists.

For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

IT 870974-57-5P

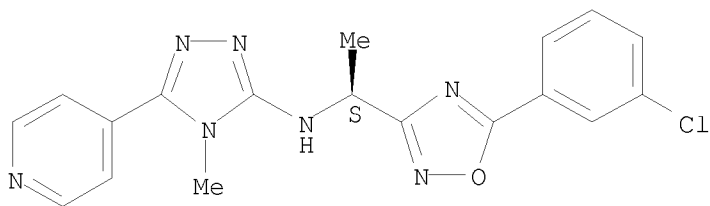
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 870974-57-5 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)- $\alpha$ -methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 870974-18-8P 870974-34-8P 870974-43-9P

871028-86-3P

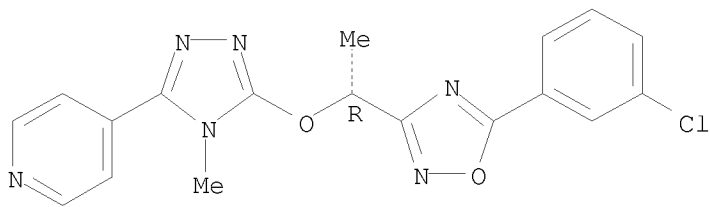
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 870974-18-8 HCAPLUS

CN Pyridine, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

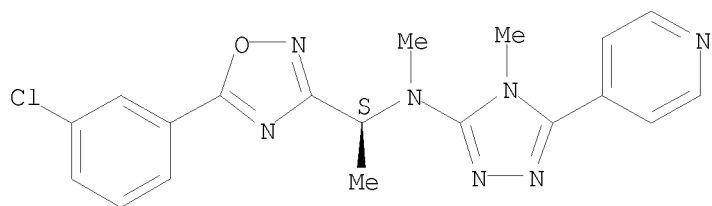


RN 870974-34-8 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, $\alpha$ -dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, ( $\alpha$ S)- (CA INDEX NAME)

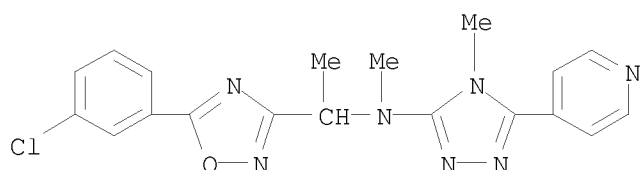
Absolute stereochemistry. Rotation (-).

10588702



RN 870974-43-9 HCAPLUS

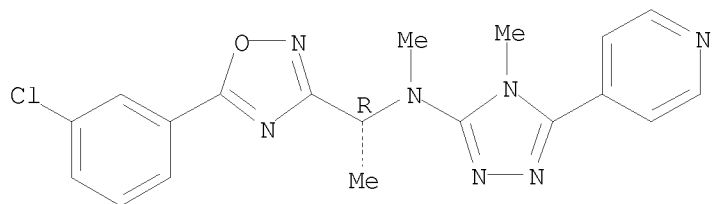
CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, $\alpha$ -dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 871028-86-3 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, $\alpha$ -dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, ( $\alpha$ R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



|    |              |              |              |
|----|--------------|--------------|--------------|
| IT | 660423-10-9P | 870973-98-1P | 870973-99-2P |
|    | 870974-01-9P | 870974-02-0P | 870974-03-1P |
|    | 870974-12-2P | 870974-14-4P | 870974-17-7P |
|    | 870974-19-9P | 870974-23-5P | 870974-25-7P |
|    | 870974-26-8P | 870974-27-9P | 870974-40-6P |
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|    | 870974-56-4P |              |              |

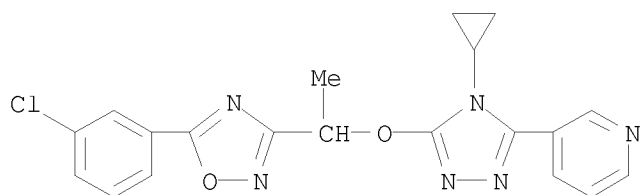
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 660423-10-9 HCAPLUS

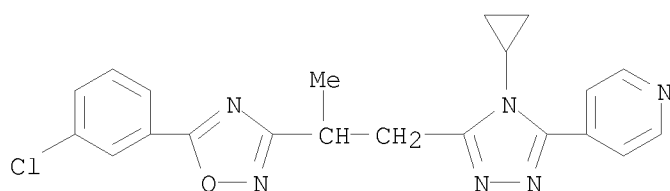
CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

10588702



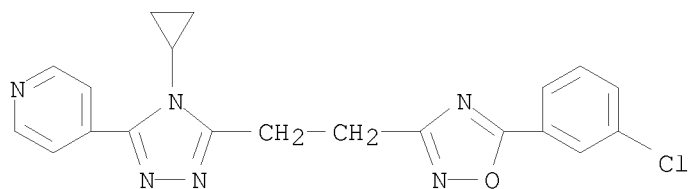
RN 870973-98-1 HCAPLUS

CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



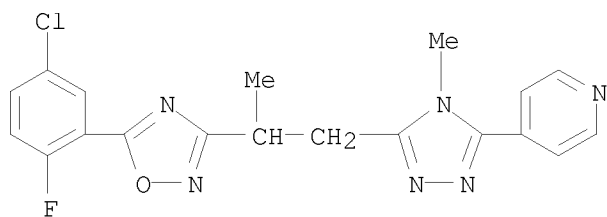
RN 870973-99-2 HCAPLUS

CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethyl]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 870974-01-9 HCAPLUS

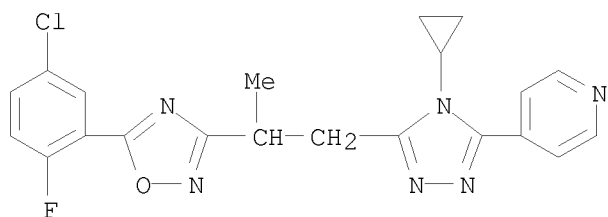
CN Pyridine, 4-[5-[2-[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 870974-02-0 HCAPLUS

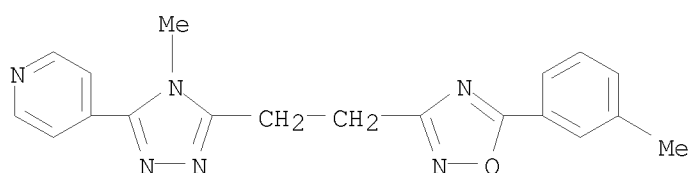
CN Pyridine, 4-[5-[2-[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

10588702



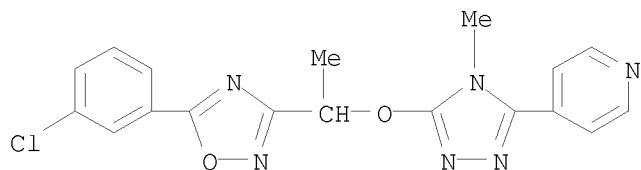
RN 870974-03-1 HCAPLUS

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RN 870974-12-2 HCAPLUS

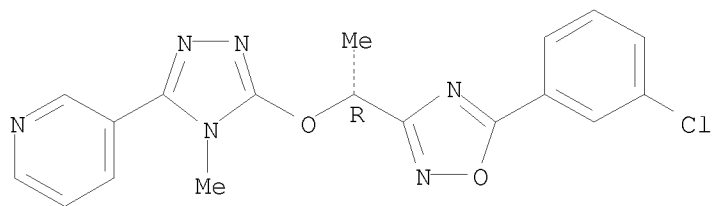
CN Pyridine, 4-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 870974-14-4 HCAPLUS

CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

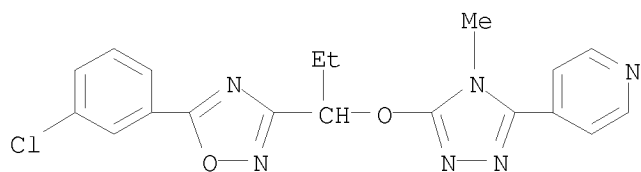
Absolute stereochemistry.



RN 870974-17-7 HCAPLUS

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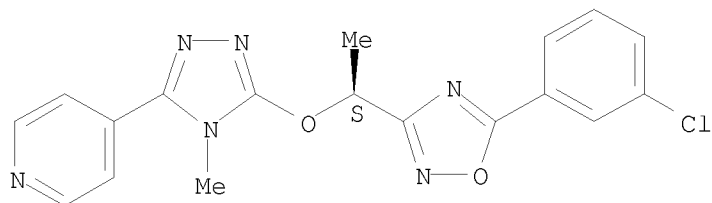
10588702



RN 870974-19-9 HCAPLUS

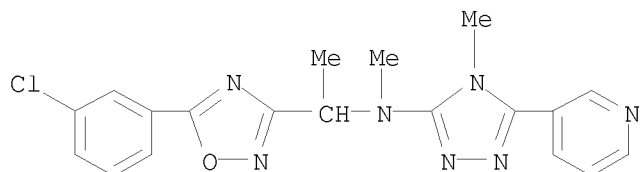
CN Pyridine, 4-[5-[(1S)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



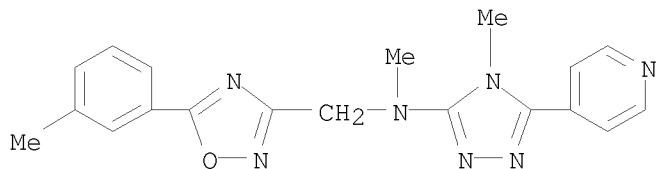
RN 870974-23-5 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, $\alpha$ -dimethyl-N-[4-methyl-5-(3-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 870974-25-7 HCAPLUS

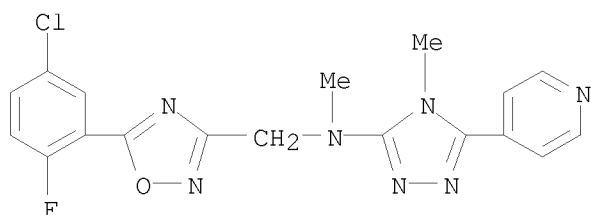
CN 1,2,4-Oxadiazole-3-methanamine, N-methyl-5-(3-methylphenyl)-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 870974-26-8 HCAPLUS

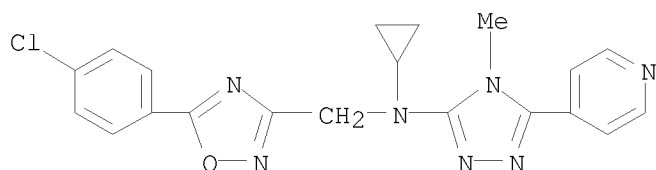
CN 1,2,4-Oxadiazole-3-methanamine, 5-(5-chloro-2-fluorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

10588702



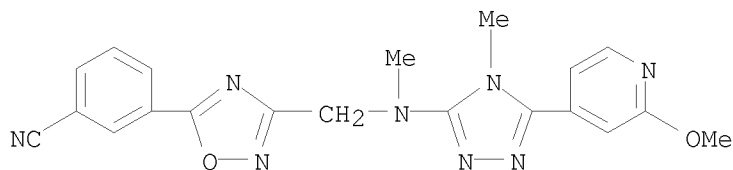
RN 870974-27-9 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(4-chlorophenyl)-N-cyclopropyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



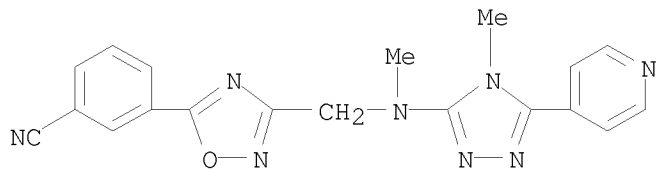
RN 870974-40-6 HCAPLUS

CN Benzonitrile, 3-[3-[[[5-(2-methoxy-4-pyridinyl)-4-methyl-4H-1,2,4-triazol-3-yl]methylamino]methyl]-1,2,4-oxadiazol-5-yl]- (CA INDEX NAME)



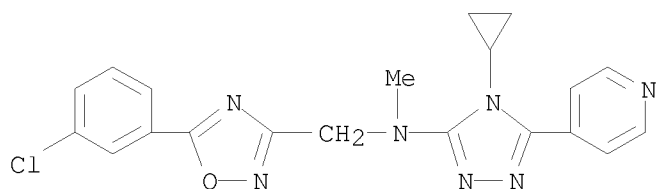
RN 870974-41-7 HCAPLUS

CN Benzonitrile, 3-[3-[methyl[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]amino]methyl]-1,2,4-oxadiazol-5-yl]- (CA INDEX NAME)



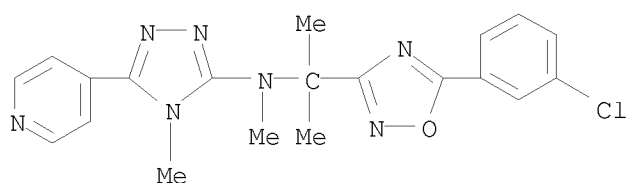
RN 870974-54-2 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-[4-cyclopropyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-N-methyl- (CA INDEX NAME)



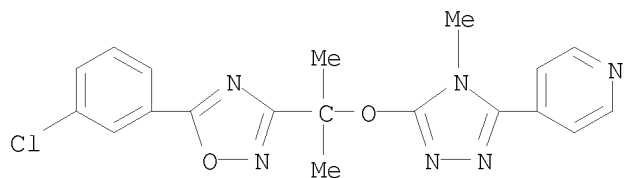
RN 870974-55-3 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, $\alpha$ , $\alpha$ -trimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 870974-56-4 HCAPLUS

CN Pyridine, 4-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]-1-methylethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

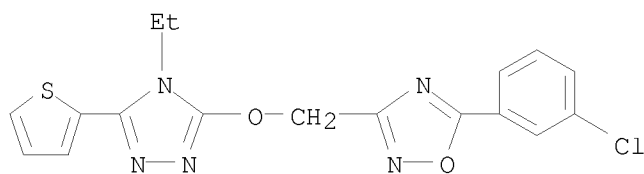


IT 660422-23-1P, 5-(3-Chlorophenyl)-3-[[[4-ethyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]oxy]methyl]-[1,2,4]oxadiazole  
 660422-24-2P 660422-83-3P 660422-84-4P  
 870973-27-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 660422-23-1 HCAPLUS

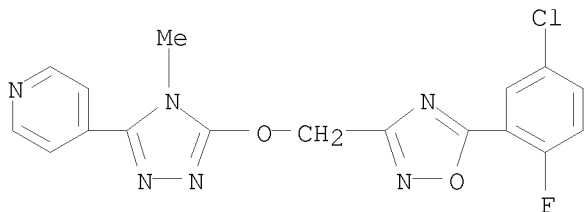
CN 1,2,4-Oxadiazole, 5-(3-chlorophenyl)-3-[[[4-ethyl-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]oxy]methyl]- (CA INDEX NAME)



10588702

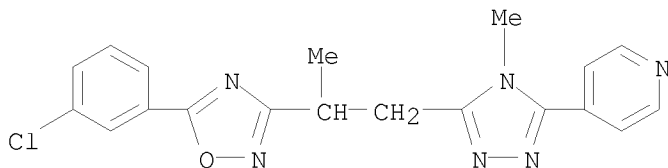
RN 660422-24-2 HCAPLUS

CN Pyridine, 4-[5-[[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



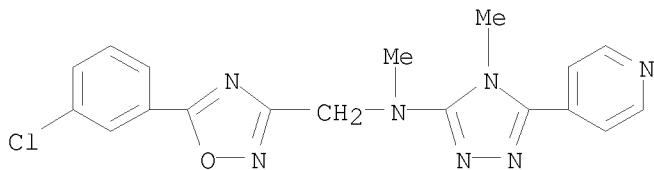
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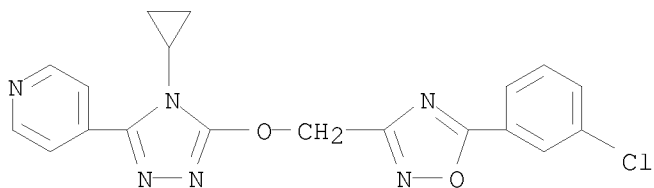
RN 660422-84-4 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 870973-27-6 HCAPLUS

CN Pyridine, 4-[5-[[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



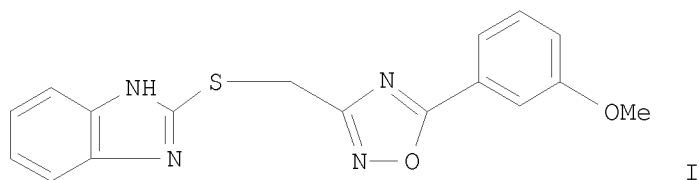
OS.CITING REF COUNT: 6

THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:888916 HCAPLUS  
 DOCUMENT NUMBER: 143:242011  
 TITLE: Heterocyclic compounds for the treatment of  
 gastro-esophageal reflux disease  
 INVENTOR(S): Lehmann, Anders; Mattsson, Jan; Nilsson, Karolina  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; NPS Pharmaceuticals, Inc.  
 SOURCE: PCT Int. Appl., 130 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

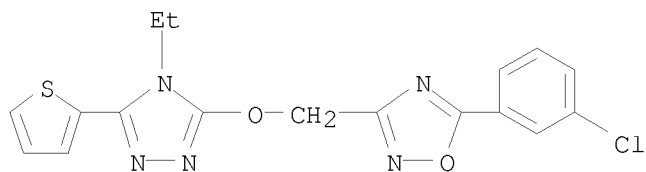
| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 2005077345  | A1   | 20050825 | WO 2005-US336   | 20050107 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,<br>TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,<br>RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,<br>MR, NE, SN, TD, TG |      |          |                 |          |

PRIORITY APPLN. INFO.: US 2004-541056P P 20040203  
 OTHER SOURCE(S): MARPAT 143:242011  
 GI



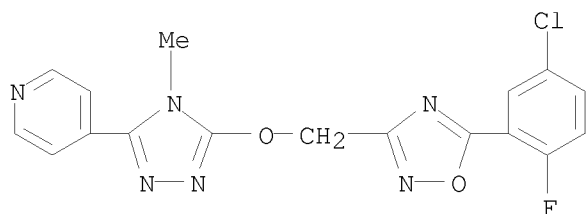
AB The present invention relates to the use of a heterocyclic compound such as  
 I for the inhibition of transient lower esophageal sphincter relaxations  
 and for the treatment of gastro-esophageal reflux disease.  
 IT 660422-23-1 660422-24-2 660422-83-3  
 660422-84-4 660423-10-9  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (heterocyclic compds. for the treatment of gastroesophageal reflux  
 disease)  
 RN 660422-23-1 HCAPLUS  
 CN 1,2,4-Oxadiazole, 5-(3-chlorophenyl)-3-[[[4-ethyl-5-(2-thienyl)-4H-1,2,4-  
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10588702



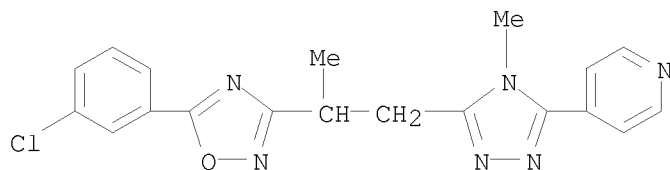
RN 660422-24-2 HCAPLUS

CN Pyridine, 4-[5-[[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



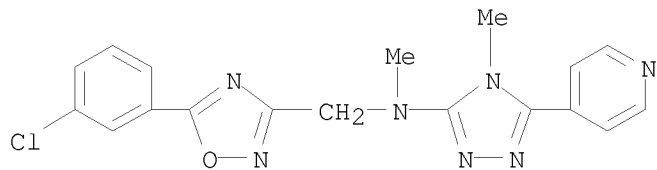
RN 660422-83-3 HCAPLUS

CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



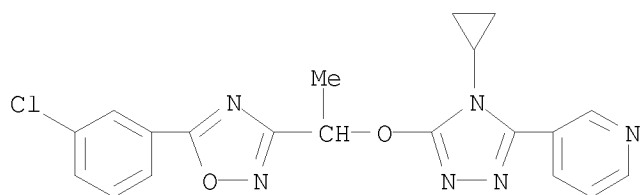
RN 660422-84-4 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 660423-10-9 HCAPLUS

CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)  
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:143126 HCAPLUS

DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as  
mGluR5 receptor antagonists

INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora,  
Jalaj; Edwards, Louise; Isaac, Methvin; Slassi,  
Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.;  
Kers, Annika; Malmberg, Johan; Oscarsson, Karin;  
Gyback, Helena; Johansson, Martin; Minidis, Alexander;  
Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer

PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.     | KIND   | DATE     | APPLICATION NO. | DATE     |
|----------------|--|----------|-----------------|----------|
| WO 2004014881  | A2   | 20040219 | WO 2003-US24846 | 20030808 |
| WO 2004014881  | A3   | 20040527 |                 |          |
| W:             | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
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| CA 2494987     | A1   | 20040219 | CA 2003-2494987 | 20030808 |
| AU 2003259068  | A1   | 20040225 | AU 2003-259068  | 20030808 |
| AU 2003259068  | B2   | 20090702 |                 |          |
| US 20040152699 | A1   | 20040805 | US 2003-637012  | 20030808 |
| EP 1529045     | A2   | 20050511 | EP 2003-785036  | 20030808 |
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| BR 2003013265  | A  | 20050705 | BR 2003-13265   | 20030808 |
| JP 2006503009  | T  | 20060126 | JP 2004-527872  | 20030808 |

|                |    |          |                |          |
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| CN 1894241     | A  | 20070110 | CN 2003-823845 | 20030808 |
| NZ 538225      | A  | 20080530 | NZ 2003-538225 | 20030808 |
| RU 2352568     | C2 | 20090420 | RU 2005-106844 | 20030808 |
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| IN 2005DN00486 | A  | 20070119 | IN 2005-DN486  | 20050208 |
| MX 2005001594  | A  | 20050920 | MX 2005-1594   | 20050209 |
| NO 2005001225  | A  | 20050509 | NO 2005-1225   | 20050309 |
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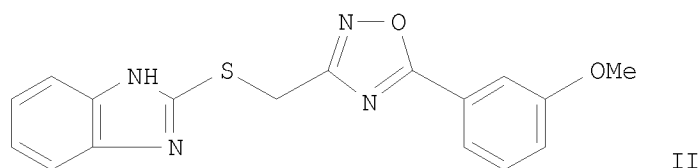
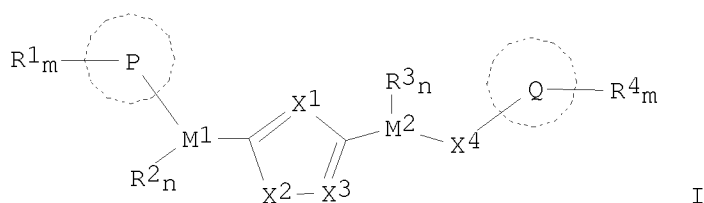
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| US 2002-402040P | P  | 20020809 |
| US 2003-637012  | B3 | 20030808 |
| WO 2003-US24846 | W  | 20030808 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:199331

GI



AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC<sub>50</sub> values for mGluR5 receptor antagonist activity are ≤10 μM; no values for individual compds. are given. Methods of preparation are claimed and example preps. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K<sub>2</sub>CO<sub>3</sub>. For I: P = H, C3-7alkyl or a 3- to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R<sub>1</sub> = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M<sub>1</sub> = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(CO)NR<sub>5</sub>,

C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkylOR5, C0-3-alkylNR5R6, C0-3alkyl(CO)OR5, C0-3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing  $\geq 1$  C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing  $\geq 1$  C, N, O and S and which fused ring may be substituted by  $\geq 1$  A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing  $\geq 1$  atoms = C, N, O or S, wherein said ring may be substituted by  $\geq 1$  A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

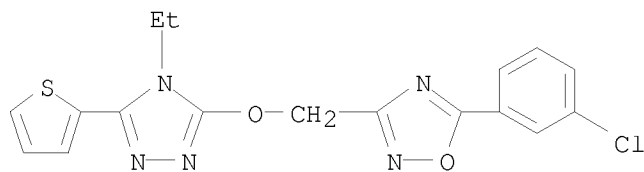
IT 660422-23-1P 660422-24-2P 660422-83-3P  
660422-84-4P 660423-10-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of five-membered heterocyclic compds. as mGluR5 receptor antagonists)

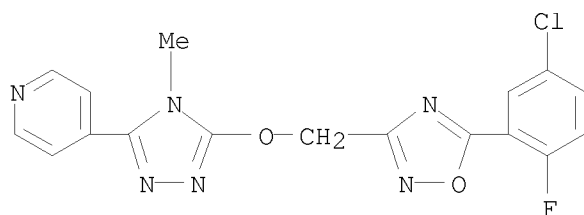
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CN 1,2,4-Oxadiazole, 5-(3-chlorophenyl)-3-[[[4-ethyl-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]oxy]methyl]- (CA INDEX NAME)



RN 660422-24-2 HCAPLUS

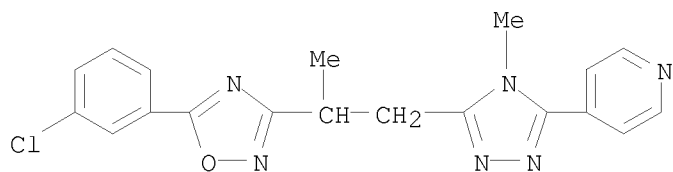
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RN 660422-83-3 HCAPLUS

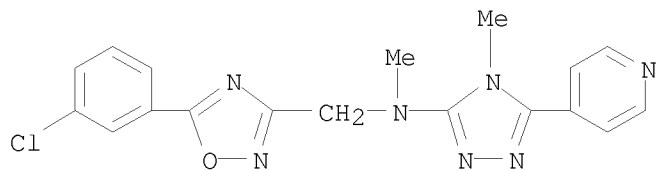
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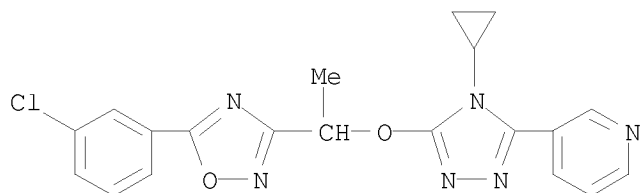
RN 660422-84-4 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 660423-10-9 HCAPLUS

CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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FILE 'REGISTRY' ENTERED AT 10:42:58 ON 22 FEB 2010

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L3 38 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:43:28 ON 22 FEB 2010

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L5 1 S L4 AND PY<=2004

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847197 RECEPTOR

781201 RECEPTORS

1017645 RECEPTOR

10588702

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L5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:143126 HCAPLUS

DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as mGluR5 receptor antagonists

INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer

PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.     | KIND   | DATE     | APPLICATION NO. | DATE         |
|----------------|--|----------|-----------------|--------------|
| WO 2004014881  | A2   | 20040219 | WO 2003-US24846 | 20030808 <-- |
| WO 2004014881  | A3   | 20040527 |                 |              |
| W:             | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |              |
| RW:            | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
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| BR 2003013265  | A  | 20050705 | BR 2003-13265   | 20030808     |
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| RU 2352568     | C2   | 20090420 | RU 2005-106844  | 20030808     |
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| IN 2005DN00486 | A  | 20070119 | IN 2005-DN486   | 20050208     |

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| MX 2005001594  | A  | 20050920 | MX 2005-1594   | 20050209 |
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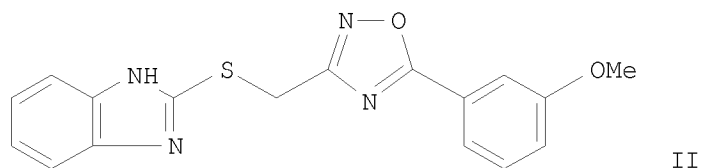
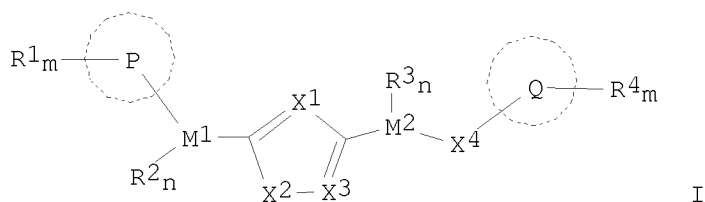
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| US 2002-402040P | P  | 20020809 |
| US 2003-637012  | B3 | 20030808 |
| WO 2003-US24846 | W  | 20030808 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:199331

GI



AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC<sub>50</sub> values for mGluR5 receptor antagonist activity are ≤10 μM; no values for individual compds. are given. Methods of preparation are claimed and example preps. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K<sub>2</sub>CO<sub>3</sub>. For I: P = H, C3-7alkyl or a 3- to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R<sub>1</sub> = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M<sub>1</sub> = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(CO)NR<sub>5</sub>, C0-3alkyl(CO)NR<sub>5</sub>C0-3alkyl, C0-4-alkylNR<sub>5</sub>, C0-3alkylSC0-3alkyl, etc.; R<sub>2</sub> = H, hydroxy, C0-6alkylcyano, oxo, NR<sub>5</sub>, NOR<sub>5</sub>, C1-4alkylhalo, halo, C1-4alkyl, etc. X<sub>1</sub>, X<sub>2</sub> and X<sub>3</sub> = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkylOR<sub>5</sub>, C0-3-alkylNR<sub>5</sub>R<sub>6</sub>, C0-3alkyl(CO)OR<sub>5</sub>, C0-3alkylNR<sub>5</sub>R<sub>6</sub> and C0-3alkylaryl; M<sub>2</sub> = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl,

C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing  $\geq 1$  C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing  $\geq 1$  C, N, O and S and which fused ring may be substituted by  $\geq 1$  A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing  $\geq 1$  atoms = C, N, O or S, wherein said ring may be substituted by  $\geq 1$  A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists

INVENTOR(S): Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.     | KIND   | DATE     | APPLICATION NO. | DATE     |
|----------------|--|----------|-----------------|----------|
| US 20050272779 | A1   | 20051208 | US 2005-53752   | 20050209 |
| US 7585881     | B2   | 20090908 |                 |          |
| AU 2005270208  | A1   | 20060209 | AU 2005-270208  | 20050215 |
| CA 2555566     | A1   | 20060209 | CA 2005-2555566 | 20050215 |
| WO 2006014185  | A1   | 20060209 | WO 2005-US4774  | 20050215 |
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| EP 1723144     | A1   | 20061122 | EP 2005-802855  | 20050215 |
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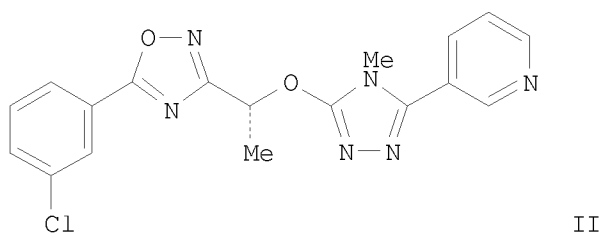
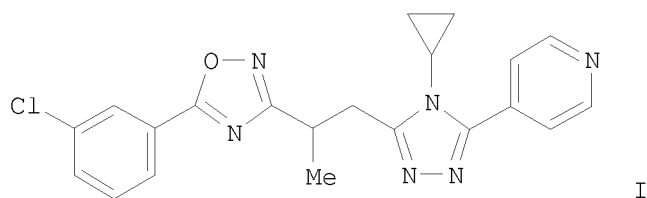
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HR, LV, MK, YU

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| CN 1984907             | A  | 20070620 | CN 2005-80004306 | 20050215    |
| BR 2005007497          | A  | 20070710 | BR 2005-7497     | 20050215    |
| JP 2007523168          | T  | 20070816 | JP 2006-554165   | 20050215    |
| CN 101096368           | A  | 20080102 | CN 2007-10127847 | 20050215    |
| SG 146657              | A1 | 20081030 | SG 2008-6914     | 20050215    |
| NZ 548954              | A  | 20090731 | NZ 2005-548954   | 20050215    |
| RU 2370495             | C2 | 20091020 | RU 2006-128446   | 20050215    |
| ZA 2006006551          | A  | 20071128 | ZA 2006-6551     | 20060807    |
| NO 2006003599          | A  | 20061027 | NO 2006-3599     | 20060808    |
| MX 2006009020          | A  | 20061207 | MX 2006-9020     | 20060808    |
| KR 2007018006          | A  | 20070213 | KR 2006-716018   | 20060808    |
| IN 2006DN04751         | A  | 20070831 | IN 2006-DN4751   | 20060818    |
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| US 20070293545         | A1 | 20071220 | US 2007-840954   | 20070818    |
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| PRIORITY APPLN. INFO.: |    |          | US 2004-608960P  | P 20040218  |
|                        |    |          | US 2005-53752    | A3 20050209 |
|                        |    |          | CN 2005-80004306 | A3 20050215 |
|                        |    |          | WO 2005-US4774   | W 20050215  |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353

GI



AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

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L7 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists

INVENTOR(S): Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

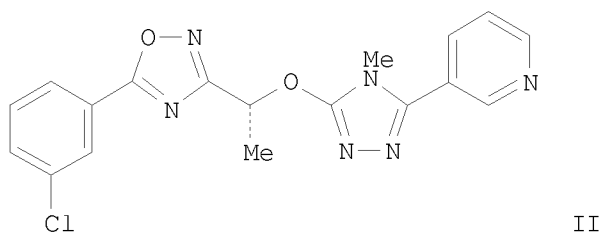
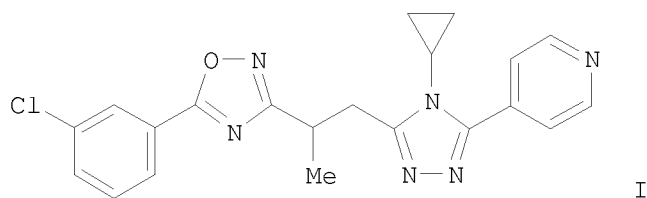
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| US 20050272779  | A1   | 20051208 | US 2005-53752    | 20050209 |
| US 7585881  | B2   | 20090908 |                  |          |
| AU 2005270208   | A1   | 20060209 | AU 2005-270208   | 20050215 |
| CA 2555566  | A1   | 20060209 | CA 2005-2555566  | 20050215 |
| WO 2006014185   | A1   | 20060209 | WO 2005-US4774   | 20050215 |
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| EP 1723144  | A1   | 20061122 | EP 2005-802855   | 20050215 |
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| CN 1984907  | A    | 20070620 | CN 2005-80004306 | 20050215 |
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| JP 2007523168   | T    | 20070816 | JP 2006-554165   | 20050215 |
| CN 101096368  | A    | 20080102 | CN 2007-10127847 | 20050215 |
| SG 146657   | A1   | 20081030 | SG 2008-6914     | 20050215 |
| NZ 548954   | A    | 20090731 | NZ 2005-548954   | 20050215 |
| RU 2370495  | C2   | 20091020 | RU 2006-128446   | 20050215 |
| ZA 2006006551   | A    | 20071128 | ZA 2006-6551     | 20060807 |
| NO 2006003599   | A    | 20061027 | NO 2006-3599     | 20060808 |
| MX 2006009020   | A    | 20061207 | MX 2006-9020     | 20060808 |
| KR 2007018006   | A    | 20070213 | KR 2006-716018   | 20060808 |
| IN 2006DN04751  | A    | 20070831 | IN 2006-DN4751   | 20060818 |
| US 20070179188  | A1   | 20070802 | US 2007-588702   | 20070313 |

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| US 20070293545         | A1 | 20071220 | US 2007-840954   | 20070818    |
| US 20080015234         | A1 | 20080117 | US 2007-840952   | 20070818    |
| US 20080015204         | A1 | 20080117 | US 2007-840955   | 20070818    |
| US 20080045571         | A1 | 20080221 | US 2007-840953   | 20070818    |
| PRIORITY APPLN. INFO.: |    |          | US 2004-608960P  | P 20040218  |
|                        |    |          | US 2005-53752    | A3 20050209 |
|                        |    |          | CN 2005-80004306 | A3 20050215 |
|                        |    |          | WO 2005-US4774   | W 20050215  |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353  
GI



AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

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L8 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists

INVENTOR(S): Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

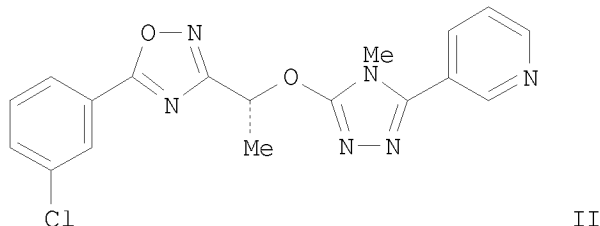
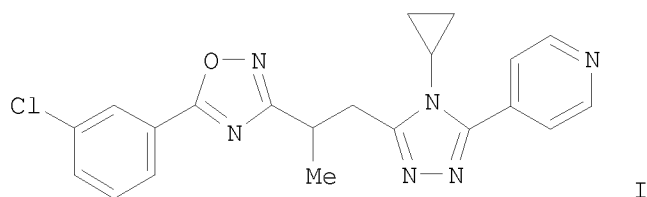
10588702

SOURCE: U.S. Pat. Appl. Publ., 175 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE        |
|--|------|----------|------------------|-------------|
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| US 20050272779   | A1   | 20051208 | US 2005-53752    | 20050209    |
| US 7585881   | B2   | 20090908 |                  |             |
| AU 2005270208  | A1   | 20060209 | AU 2005-270208   | 20050215    |
| CA 2555566   | A1   | 20060209 | CA 2005-2555566  | 20050215    |
| WO 2006014185  | A1   | 20060209 | WO 2005-US4774   | 20050215    |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,<br>SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,<br>IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,<br>CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,<br>KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,<br>KZ, MD, RU, TJ, TM |      |          |                  |             |
| EP 1723144   | A1   | 20061122 | EP 2005-802855   | 20050215    |
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| CN 1984907   | A    | 20070620 | CN 2005-80004306 | 20050215    |
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| JP 2007523168  | T    | 20070816 | JP 2006-554165   | 20050215    |
| CN 101096368   | A    | 20080102 | CN 2007-10127847 | 20050215    |
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| NZ 548954  | A    | 20090731 | NZ 2005-548954   | 20050215    |
| RU 2370495   | C2   | 20091020 | RU 2006-128446   | 20050215    |
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| MX 2006009020  | A    | 20061207 | MX 2006-9020     | 20060808    |
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| IN 2006DN04751   | A    | 20070831 | IN 2006-DN4751   | 20060818    |
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| US 20080015234   | A1   | 20080117 | US 2007-840952   | 20070818    |
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|  |      |          | US 2005-53752    | A3 20050209 |
|  |      |          | CN 2005-80004306 | A3 20050215 |
|  |      |          | WO 2005-US4774   | W 20050215  |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353  
GI



AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L8 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:143126 HCAPLUS

DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as mGluR5 receptor antagonists

INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer

PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2004014881 | A2   | 20040219 | WO 2003-US24846 | 20030808 |
| WO 2004014881 | A3   | 20040527 |                 |          |

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
 PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,  
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 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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| AU 2003259068  | B2 | 20090702 |                 |          |
| US 20040152699   | A1 | 20040805 | US 2003-637012  | 20030808 |
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| NZ 538225  | A  | 20080530 | NZ 2003-538225  | 20030808 |
| RU 2352568   | C2 | 20090420 | RU 2005-106844  | 20030808 |
| ZA 2005000886  | A  | 20060726 | ZA 2005-886     | 20050131 |
| IN 2005DN00486   | A  | 20070119 | IN 2005-DN486   | 20050208 |
| MX 2005001594  | A  | 20050920 | MX 2005-1594    | 20050209 |
| NO 2005001225  | A  | 20050509 | NO 2005-1225    | 20050309 |
| US 20060122397   | A1 | 20060608 | US 2005-274611  | 20051114 |
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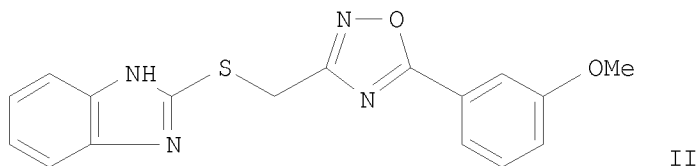
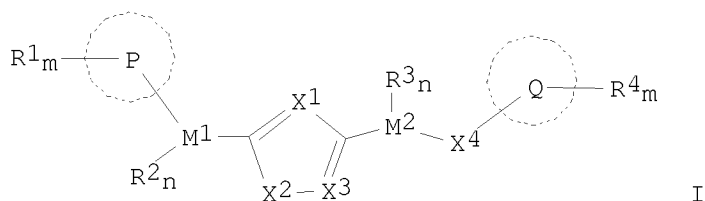
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| US 2002-402040P | P  | 20020809 |
| US 2003-637012  | B3 | 20030808 |
| WO 2003-US24846 | W  | 20030808 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:199331

GI



AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are  $\leq 10 \mu\text{M}$ ; no values for individual compds. are given. Methods of

preparation are claimed and example preps. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]sulfanyl)methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K<sub>2</sub>CO<sub>3</sub>. For I: P = H, C3-7alkyl or a 3- to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(CO)NR5, C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkylOR5, C0-3-alkylNR5R6, C0-3alkyl(CO)OR5, C0-3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO<sub>2</sub> and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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L9 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists

INVENTOR(S): Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.

CODEN: USXXCO

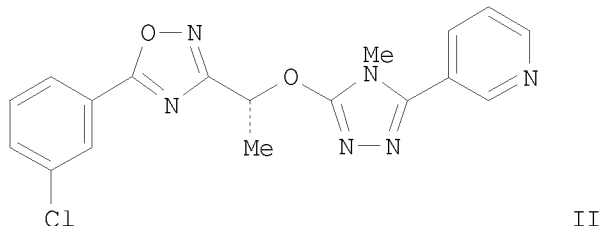
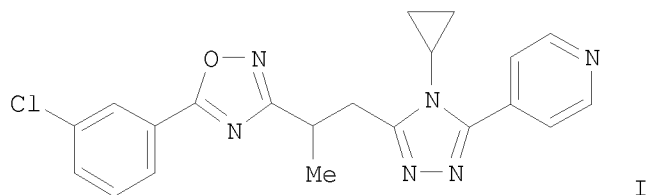
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND   | DATE     | APPLICATION NO.  | DATE        |
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| US 20050272779  | A1   | 20051208 | US 2005-53752    | 20050209    |
| US 7585881  | B2   | 20090908 |                  |             |
| AU 2005270208   | A1   | 20060209 | AU 2005-270208   | 20050215    |
| CA 2555566  | A1   | 20060209 | CA 2005-2555566  | 20050215    |
| WO 2006014185   | A1   | 20060209 | WO 2005-US4774   | 20050215    |
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| EP 1723144  | A1   | 20061122 | EP 2005-802855   | 20050215    |
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| CN 1984907  | A  | 20070620 | CN 2005-80004306 | 20050215    |
| BR 2005007497   | A  | 20070710 | BR 2005-7497     | 20050215    |
| JP 2007523168   | T  | 20070816 | JP 2006-554165   | 20050215    |
| CN 101096368  | A  | 20080102 | CN 2007-10127847 | 20050215    |
| SG 146657   | A1   | 20081030 | SG 2008-6914     | 20050215    |
| NZ 548954   | A  | 20090731 | NZ 2005-548954   | 20050215    |
| RU 2370495  | C2   | 20091020 | RU 2006-128446   | 20050215    |
| ZA 2006006551   | A  | 20071128 | ZA 2006-6551     | 20060807    |
| NO 2006003599   | A  | 20061027 | NO 2006-3599     | 20060808    |
| MX 2006009020   | A  | 20061207 | MX 2006-9020     | 20060808    |
| KR 2007018006   | A  | 20070213 | KR 2006-716018   | 20060808    |
| IN 2006DN04751  | A  | 20070831 | IN 2006-DN4751   | 20060818    |
| US 20070179188  | A1   | 20070802 | US 2007-588702   | 20070313    |
| US 20070293545  | A1   | 20071220 | US 2007-840954   | 20070818    |
| US 20080015234  | A1   | 20080117 | US 2007-840952   | 20070818    |
| US 20080015204  | A1   | 20080117 | US 2007-840955   | 20070818    |
| US 20080045571  | A1   | 20080221 | US 2007-840953   | 20070818    |
| PRIORITY APPLN. INFO.:  |  |          | US 2004-608960P  | P 20040218  |
|   |  |          | US 2005-53752    | A3 20050209 |
|   |  |          | CN 2005-80004306 | A3 20050215 |
|   |  |          | WO 2005-US4774   | W 20050215  |
| ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT |  |          |                  |             |
| OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353             |  |          |                  |             |
| GI  |  |          |                  |             |



AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L9 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:143126 HCAPLUS

DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as mGluR5 receptor antagonists

INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer

PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2004014881 | A2   | 20040219 | WO 2003-US24846 | 20030808 |
| WO 2004014881 | A3   | 20040527 |                 |          |

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
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 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
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| CA 2494987   | A1 | 20040219 | CA 2003-2494987 | 20030808 |
| AU 2003259068  | A1 | 20040225 | AU 2003-259068  | 20030808 |
| AU 2003259068  | B2 | 20090702 |                 |          |
| US 20040152699   | A1 | 20040805 | US 2003-637012  | 20030808 |
| EP 1529045   | A2 | 20050511 | EP 2003-785036  | 20030808 |
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| BR 2003013265  | A  | 20050705 | BR 2003-13265   | 20030808 |
| JP 2006503009  | T  | 20060126 | JP 2004-527872  | 20030808 |
| CN 1894241   | A  | 20070110 | CN 2003-823845  | 20030808 |
| NZ 538225  | A  | 20080530 | NZ 2003-538225  | 20030808 |
| RU 2352568   | C2 | 20090420 | RU 2005-106844  | 20030808 |
| ZA 2005000886  | A  | 20060726 | ZA 2005-886     | 20050131 |
| IN 2005DN00486   | A  | 20070119 | IN 2005-DN486   | 20050208 |
| MX 2005001594  | A  | 20050920 | MX 2005-1594    | 20050209 |
| NO 2005001225  | A  | 20050509 | NO 2005-1225    | 20050309 |
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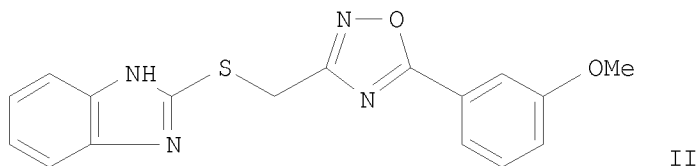
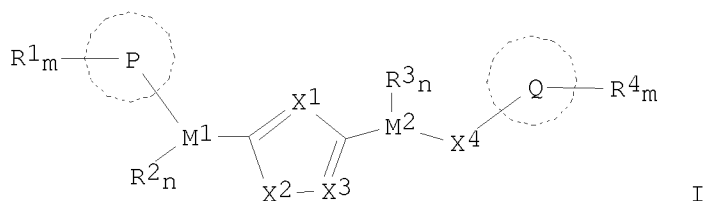
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| US 2002-402040P | P  | 20020809 |
| US 2003-637012  | B3 | 20030808 |
| WO 2003-US24846 | W  | 20030808 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:199331

GI



AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data).  
 Typical IC50 values for mGluR5 receptor antagonist activity are  $\leq 10$   $\mu\text{M}$ ; no values for individual compds. are given. Methods of preparation are

claimed and example preps. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]sulfanyl)methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K<sub>2</sub>CO<sub>3</sub>. For I: P = H, C3-7alkyl or a 3- to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(CO)NR5, C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkylOR5, C0-3-alkylNR5R6, C0-3alkyl(CO)OR5, C0-3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO<sub>2</sub> and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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| FULL ESTIMATED COST                        | 94.39      | 286.15  |
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